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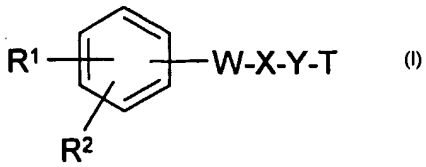
WO 02/057236 A1

(54) Title: PHENYL DERIVATIVES

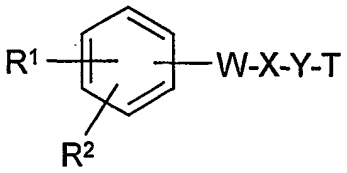


(I)

(57) Abstract: Novel compounds of the formula I in which W, X, Y, T, R^1 and R2 are as defined in Patent Claim 1, are inhibitors of coagulation factor Xa and can be employed for the prophylaxis and/or therapy of thromboembolic disorders.

Patent Claims

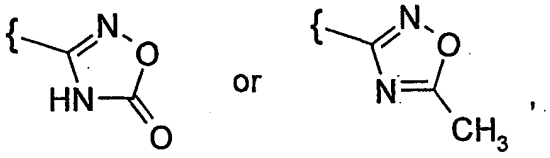
1. Compounds of the formula I



I

in which

R^1 is CN, $CON(R^3)_2$, $-[C(R^4)_2]_nN(R^3)_2$, $-C(=NH)-NH_2$ which is unsubstituted or monosubstituted by $C(=O)R^3$, $COOR^3$, OR^3, $OCOR^3$, $OCOOR^3$ or by a conventional amino-protecting group, or is



R^2 is H, Hal, A, OR^3, $N(R^3)_2$, NO_2, CN, $COOR^3$, $CON(R^3)_2$, $-[C(R^4)_2]_n$-Ar, $-[C(R^4)_2]_n$-Het or $-[C(R^4)_2]_n$-cycloalkyl,

R^3 is H, A, $-[C(R^4)_2]_n$-Ar, $-[C(R^4)_2]_n$-Het or $-[C(R^4)_2]_n$-cycloalkyl,

R^4 is H or A,

W is $-C(R^3)_2-$, $-[C(R^3)_2]_2-$, $-OC(R^3)_2-$ or $-NR^3C(R^3)_2-$,

X is $CONR^3$, $CONR^3C(R^4)_2-$, $-C(R^4)_2NR^3-$, $-C(R^4)_2NR^3C(R^4)_2-$, $-C(R^4)_2O-$ or $-C(R^4)_2OC(R^4)_2-$,

Y is alkylene, cycloalkylene, Het-diyl or Ar-diyl,

T is OR^3, $N(R^3)_2$ or a monocyclic or bicyclic, saturated, unsaturated or aromatic heterocyclic radical having from 1 to 4 N, O and/or S atoms which is unsubstituted or monosubstituted, disubstituted or trisubstituted by Hal, A, $-[C(R^4)_2]_n$-Ar,

$-[C(R^4)_2]_n$-Het, $-[C(R^4)_2]_n$-cycloalkyl, OR^3, $N(R^3)_2$, NO_2, CN, $COOR^3$, $CON(R^3)_2$, NR^3COA, NR^3SO_2A, COR^3, SO_2NR^3, $S(O)_mA$ and/or carbonyl oxygen,

A is unbranched or branched alkyl having 1-6 carbon atoms, in which one or two CH_2 groups may be replaced by O or S atoms and/or by -CH=CH- groups and/or, in addition, 1-7 H atoms may be replaced by F,

Ar is phenyl, naphthyl or biphenyl, each of which is unsubstituted or monosubstituted, disubstituted or trisubstituted by Hal, A, OR^4, $N(R^4)_2$, NO_2, CN, $COOR^4$, $CON(R^4)_2$, NR^4COA, NR^4SO_2A, COR^4, SO_2NR^4, $S(O)_mA$, $-[C(R^4)_2]_n$-$COOR^3$ or $O-[C(R^4)_2]_o$-$COOR^3$,

Het is a monocyclic or bicyclic, saturated, unsaturated or aromatic heterocyclic radical having from 1 to 4 N, O and/or S atoms which is unsubstituted or monosubstituted, disubstituted or trisubstituted by Hal, A, $-[C(R^4)_2]_n$-Ar, $-[C(R^4)_2]_n$-Het', $-[C(R^4)_2]_n$-cycloalkyl, OR^3, $N(R^3)_2$, NO_2, CN, $COOR^3$, $CON(R^3)_2$, NR^3COA, NR^3SO_2A, COR^3, SO_2NR^3, $S(O)_mA$ and/or carbonyl oxygen,

Het' is a monocyclic or bicyclic, saturated, unsaturated or aromatic heterocyclic radical having from 1 to 4 N, O and/or S atoms which is unsubstituted or monosubstituted or disubstituted by Hal, A, OR^3, $N(R^3)_2$, NO_2, CN, $COOR^3$, $CON(R^3)_2$, NR^3COA, NR^3SO_2A, COR^3, SO_2NR^3, $S(O)_mA$ and/or carbonyl oxygen,

Hal is F, Cl, Br or I,

m and

n are each, independently of one another, 0, 1 or 2,

o is 1, 2 or 3,

and their pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios.

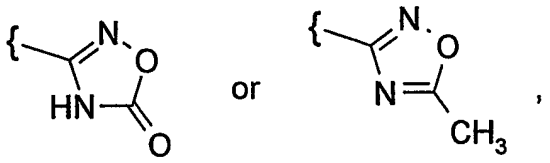
2. Compounds according to Claim 1, in which

R^2 is H,

and their pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios.

3. Compounds according to Claim 1, in which

R^1 is $-C(=NH)-NH_2$ which is unsubstituted or monosubstituted by OH, or is



and their pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios.

4. Compounds according to Claim 1, in which

Ar is phenyl which is unsubstituted or monosubstituted or disubstituted by Hal, A, OR^4 or $O-[C(R^4)_2]_o-COOR^3$,

and their pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios.

5. Compounds according to Claim 1, in which

Het is a monocyclic or bicyclic, saturated, unsaturated or aromatic heterocyclic radical having 1 to 2 N and/or O atoms which is monosubstituted or disubstituted by A and/or carbonyl oxygen,

and their pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios.

6. Compounds according to Claim 1, in which

W is $-OC(R^3)_2-$ or $-NR^3C(R^3)_2-$,

and their pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios.

7. Compounds according to Claim 1, in which

W is $-OC(R^3)_2-$ or $-NR^3C(R^3)_2-$,

R^3 is H, A or $-(CH_2)_n-Ar$,

Ar is phenyl which is unsubstituted or monosubstituted or disubstituted by Hal, A, OR^4 or $O-[C(R^4)_2]_o-COOR^3$,

n is 0 or 1,

and their pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios.

8. Compounds according to Claim 1, in which

W is $-OCHR^3-$ or $-NHCHR^3-$,

R^3 is H, A or $-(CH_2)_n-Ar$,

Ar is phenyl which is unsubstituted or monosubstituted or disubstituted by Hal, A, OR^4 or $O-[C(R^4)_2]_o-COOR^3$,

n is 0 or 1,

and their pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios.

9. Compounds according to Claim 1, in which

X is CONH or $CONH(CH_2)_2-$,

and their pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios.

10. Compounds according to Claim 1, in which

Y is alkylene or Ar-diyl,

Ar is phenyl which is unsubstituted or monosubstituted or disubstituted by Hal, A, OR^4 or $O-[C(R^4)_2]_o-COOR^3$,

and their pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios.

11. Compounds according to Claim 1, in which

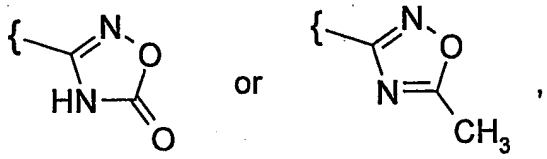
T is $N(R^{3'})_2$ or a monocyclic or bicyclic, saturated, unsaturated or aromatic heterocyclic radical having 1 to 2 N and/or O atoms which is monosubstituted or disubstituted by A and/or carbonyl oxygen,

$R^{3'}$ is H or A,

and their pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios.

12. Compounds according to Claim 1, in which

R^1 is $-C(=NH)-NH_2$ which is unsubstituted or monosubstituted by OH, or is



R^2 is H,

R^3 is H, A or $-(CH_2)_n-Ar$,

R^4 is H or A,

W is $-OC(R^3)_2-$ or $-NR^3C(R^3)_2-$,

X is CONH or $CONH(CH_2)_2$,

Y is alkylene or Ar-diyl,

T is $N(R^{3'})_2$ or a monocyclic or bicyclic, saturated, unsaturated or aromatic heterocyclic radical having 1 to 2 N and/or O atoms which is monosubstituted or disubstituted by A and/or carbonyl oxygen,

$R^{3'}$ is H or A,

Ar is phenyl which is unsubstituted or monosubstituted or disubstituted by Hal, A, OR^4 or $O-[C(R^4)_2]_o-COOR^3$,

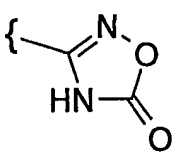
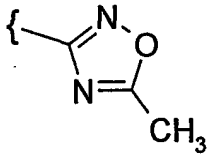
A is unbranched or branched alkyl having 1-6 carbon atoms, in which 1-7 H atoms may be replaced by F,

n is 0 or 1,

and their pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios.

13. Compounds according to Claim 1, in which

R^1 is $-C(=NH)-NH_2$ which is unsubstituted or monosubstituted by OH, or is


or

,

R^2 is H,

R^3 is H, A or $-(CH_2)_n-Ar$,

R^4 is H or A,

W is $-OC(R^3)_2-$ or $-NR^3C(R^3)_2-$,

X is CONH or $CONH(CH_2)_2$,

Y is alkylene or Ar-diyl,

T is dimethylamino, diethylamino, morpholin-4-yl, 2-oxo-piperidin-1-yl, 2-oxopyrrolidin-1-yl, 5,5-dimethyl-2-oxo-pyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2,6-dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 2-aza-bicyclo[2.2.2]octan-3-on-2-yl or 3-oxo-2*H*-pyridazin-2-yl,

Ar is phenyl which is unsubstituted or monosubstituted or disubstituted by Hal, A, OR^4 or $O-[C(R^4)_2]_o-COOR^3$,

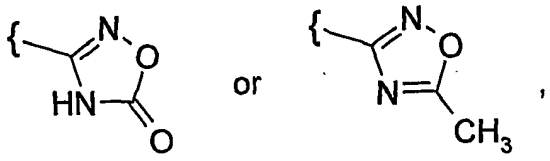
A is unbranched or branched alkyl having 1-6 carbon atoms, in which 1-7 H atoms may be replaced by F,

n is 0 or 1,

and their pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios.

14. Compounds according to Claim 1, in which

R^1 is CN, NH_2, $CONA_2$, CH_2NH_2, $CH_2CH_2NH_2$, -C(=NH)-NH_2 which is unsubstituted or monosubstituted by OH, $COOR^3$, OCOA or OCOOA, or is



R^2 is H or F,

R^3 is H, A or -$(CH_2)_n$-Ar or thienyl,

R^4 is H or A,

W is -$C(R^3)_2$-, -$OC(R^3)_2$- or -$NR^3C(R^3)_2$-,

X is CONH, $CONH(CH_2)$, $CONH(CH_2)_2$, CH_2O- or CH_2OCH_2,

Y is alkylene, Ar-diyl or pyridinediyl,

T is dimethylamino, diethylamino, morpholin-4-yl, 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 5,5-dimethyl-2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2,6-dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl, 2-oxo-1,3-oxazinan-3-yl or 2-azabicyclo[2.2.2]octan-3-on-2-yl,

Ar is phenyl which is unsubstituted or monosubstituted or disubstituted by Hal, CF_3, A, OA, methoxycarbonylmethoxy, ethoxycarbonylmethoxy or carboxymethoxy,

A is unbranched or branched alkyl having 1-6 carbon atoms, in which 1-7 H atoms may be replaced by F,

n is 0 or 1,

and their pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios.

15. Compounds according to Claim 1, selected from the group consisting of

2-(3-amidinophenoxy)-*N*-(4-morpholin-4-ylphenyl)valeramide,
2-(3-amidinophenoxy)-*N*-(4-morpholin-4-ylphenyl)-2-phenylacetamide,
2-(3-amidinophenylamino)-*N*-(4-dimethylaminophenyl)-4-methylvaleramide,
2-(3-amidinophenylamino)-*N*-(4-morpholin-4-ylphenyl)-2-phenylacetamide,
2-(3-amidinophenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,
2-(3-amidinophenoxy)-*N*-[4-(2-oxopyrrolidin-1-yl)phenyl]-2-phenylacetamide;
2-(3-amidinophenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]valeramide,
2-(3-amidinophenoxy)-*N*-[4-(2-oxopyrrolidin-1-yl)phenyl]valeramide,
2-(3-amidinophenoxy)-*N*-[4-(2-oxo-1*H*-pyridin-1-yl)phenyl]-2-phenylacetamide,
2-(3-amidinophenoxy)-*N*-[4-(3-oxomorpholin-4-yl)phenyl]-2-phenylacetamide,
2-(3-amidinophenoxy)-*N*-[4-(4-oxo-1*H*-pyridin-1-yl)phenyl]-2-phenylacetamide,
2-(3-(N-hydroxyamidino)phenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[4-(2,6-dioxopiperidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[4-(3-oxo-2*H*-piperazin-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[2-fluoro-4-(2-oxo-1*H*-pyridin-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[4-(2,5-dioxopyrrolidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[5-(2-oxopiperidin-1-yl)pyridin-2-yl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[4-(2-oxo-1,3-oxazolidin-3-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[4-(2-oxo-1*H*-pyridin-1-yl)benzyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[4-(2-oxopiperidin-1-yl)benzyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[4-(2-oxopiperidin-1-yl)butyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[4-(3-oxo-2*H*-pyridazin-2-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[2-fluoro-4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-(4-dimethylaminobenzyl)-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[3-(morpholin-4-yl)propyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[3-(piperidin-1-yl)propyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-(4-dimethylaminophenyl)-2-phenylacetamide,

2-(3-amidinophenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenylamino)-*N*-[2-(morpholin-4-yl)ethyl]-2-phenylacetamide,

2-(3-amidinophenylamino)-*N*-(4-dimethylaminobenzyl)-2-phenylacetamide,

2-(3-amidinophenylamino)-*N*-[3-(morpholin-4-yl)propyl]-2-phenylacetamide,

2-(3-amidinophenylamino)-*N*-[3-(piperidin-1-yl)propyl]-2-phenylacetamide

2-(3-amidinophenoxy)-*N*-[2-(morpholin-4-yl)ethyl]valeramide,

2-(3-amidinophenoxy)-*N*-(4-dimethylaminobenzyl)valeramide,

2-(3-amidinophenoxy)-*N*-[3-(morpholin-4-yl)propyl]valeramide,

2-(3-amidinophenoxy)-*N*-[3-(piperidin-1-yl)propyl]valeramide,

2-(3-aminocarbonylphenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-aminocarbonylphenoxy)-*N*-[2-(morpholin-4-yl)ethyl]-2-phenylacetamide,

2-(3-aminocarbonylphenoxy)-*N*-(4-dimethylaminobenzyl)-2-phenylacetamide,

2-(3-aminocarbonylphenoxy)-*N*-[3-(morpholin-4-yl)propyl]-2-phenylacetamide,

2-(3-aminocarbonylphenoxy)-*N*-[3-(piperidin-1-yl)propyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-(4-morpholin-4-ylbenzyl)valeramide,

2-(3-amidinophenoxy)-*N*-(4-morpholin-4-ylbenzyl)-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[4-(3-oxomorpholin-4-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[3-(2-oxopiperidin-1-yl)phenyl]-2-phenyl-acetamide,
2-(3-amidinophenoxy)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,
(2R)-2-(3-amidinophenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]valer-amide,
2-(3-amidinophenoxy)-*N*-[2-fluoro-4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,
2-(3-amidinophenoxy)-*N*-[2-fluoro-4-(2-caprolactam-1-yl)phenyl]-2-phenylacetamide,
2-(3-amidinophenoxy)-*N*-[3-methyl-4-(2-caprolactam-1-yl)phenyl]-valeramide,
2-(3-amidinophenoxy)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]-valeramide,
2-(3-amidinophenoxy)-*N*-[3-fluoro-4-(2-oxopiperidin-1-yl)phenyl]-valeramide,
2-(3-amidinophenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]acetamide,
2-(2-amidinophenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacet-amide,
2-(4-amidinophenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenyl-acetamide,
3-(3-amidinophenyl)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]propionamide,
2-(3-amidinophenoxy)-*N*-[3-fluoro-4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,
3-(3-amidinophenyl)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]-propionamide,
(2S)-2-(3-amidinophenoxy)-*N*-[3-fluoro-4-(2-oxopiperidin-1-yl)phenyl]-valeramide,
2-(3-amidinophenoxy)-*N*-[3-methyl-4-(2-caprolactam-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenylmethyl)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]valeramide,

2-(3-amidinophenylmethyl)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)-phenyl]valeramide,

2-(3-amidinophenoxy)-*N*-[4-(2-oxopiperazin-1-yl)phenyl]valeramide,

(2S)-2-(3-amidinophenoxy)-*N*-[4-(2-caprolactam-1-yl)phenyl]valeramide,

(2S)-2-(3-amidinophenoxy)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)-phenyl]valeramide,

2-(3-amidinophenoxy)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]-4-methylvaleramide,

2-(3-amidinophenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-4-methyl-valeramide,

(2R)-2-(3-amidinophenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]valeramide,

2-(3-amidinophenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]propionamide,

2-(3-amidinophenoxy)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]-propionamide,

2-(3-amidinophenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]butyramide,

2-(3-amidinophenoxy)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]-butyramide,

(2S)-2-(3-amidinophenoxy)-*N*-[3-methyl-4-(2-caprolactam-1-yl)-phenyl]valeramide,

2-(3-amidinophenoxy)-*N*-[4-(2-oxopyridin-1-yl)phenyl]valeramide,

2-(3-amidinophenoxy)-*N*-[2,5-dimethyl-4-(2-oxopiperidin-1-yl)phenyl]-valeramide,

2-(3-amidinophenoxy)-*N*-[3-methyl-4-(2-oxopyrrolidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[3-methyl-4-(2-oxopyrrolidin-1-yl)phenyl]-valeramide,

2-(3-amidinophenoxy)-*N*-[2-methyl-4-(2-oxopiperidin-1-yl)phenyl]-valeramide,

2-(3-amidinophenoxy)-*N*-(2-oxo-3,4,5,6-tetrahydro-2*H*--1,2'-bi-pyridinyl-5'-yl)valeramide,

2-(3-amidinophenoxy)-*N*-[2-methyl-4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-(2-oxo-3,4,5,6-tetrahydro-2*H*--1,2'-bi-pyridinyl-5'-yl)-2-phenylacetamide,

2-[(3-*N*-methoxycarbonylamidino)phenoxy]-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]valeramide,

2-(3-amidinophenoxy)-*N*-[3-fluoro-4-(2-oxopyrrolidin-1-yphenyval-amide,

2-(3-amidinophenoxy)-*N*-[3-fluoro-4-(2-oxopyrrolidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]caproamide,

2-(3-amidinophenoxy)-*N*-[4-(2-oxopyrrolidin-1-yl)phenyl]butyramide,

2-(3-amidinophenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-3-methyl-butyramide,

2-(3-amidinophenoxy)-*N*-[4-ethyl-3-(2-oxopyrrolidin-1-yl)phenyl]valer-amide,

2-(3-amidinophenoxy)-*N*-[3-fluoro-4-(2-caprolactam-1-yl)phenyl]valer-amide,

2-(3-amidinophenoxy)-*N*-[3-fluoro-4-(2-caprolactam-1-yl)phenyl]-2-phenylacetamide, acetate,

2-(3-amidinophenoxy)-*N*-[4-(2,6-dioxopiperidin-1-yl)phenyl]valer-amide,

3-(3-amidinophenyl)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenyl-propionamide,

3-(3-amidinophenyl)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]-2-phenylpropionamide,

2-(3-amidinophenoxy)-*N*-[3-methoxy-4-(2,5-dioxopyrrolidin-1-yl)-phenyl]valeramide,

1-{4-[2-(3-amidinophenoxy)pentylamino]phenyl}piperidin-2-one,

1-{4-[2-(3-amidinophenoxy)pentylamino]-2-methylphenyl}piperidin-2-one,

1-{4-[2-(3-amidinophenoxy)-2-phenylethoxy]phenyl}piperidin-2-one,

2-(3-amidinophenoxy)-*N*-[3-(2-oxopiperidin-1-yl)propyl]-2-phenyl-acetamide,

2-[(3-*N*-ethoxycarbonylamidino)phenoxy]-*N*-[4-(2-oxopiperidin-1-yl)phenyl]valeramide,

2-[(3-*N*-methoxycarbonylamidino)phenoxy]-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

2-[(3-*N*-ethoxycarbonylamidino)phenoxy]-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

2-(3-amidinophenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-4-methyl-valeramide,

2-(3-amidinophenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenyl-acetamide,

2-(3-amidinophenylamino)-*N*-[4-(2-oxopyrrolidin-1-yl)phenyl]-4-methylvaleramide,

2-(3-amidinophenylamino)-*N*-[4-(2-oxopyrrolidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-(2-fluorophenyl)acetamide,

2-(3-amidinophenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]acet-amide,

(2S)-2-(3-amidinophenylamino]-*N*-[4-(2-caprolactam-1-yl)phenyl]-2-phenylacetamide,

(2S)-2-(3-amidinophenylamino)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

(2S)-2-(3-amidinophenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]capro-amide,

2-(3-amidinophenylamino)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]-2-(2-fluorophenyl)acetamide,

(2S)-2-(2-amidinophenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

(2R)-2-(2-amidinophenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

(2S)-2-(3-amidinophenylamino)-*N*-[3-fluoro-4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

2-(3-amidinophenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-(3-fluorophenyl)acetamide,

2-(3-amidinophenylamino)-*N*-[3-fluoro-4-(2-oxopiperidin-1-yl)phenyl]-2-(3-fluorophenyl)acetamide,

(2R)-2-(3-amidinophenylamino)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)-phenyl]-4-methylvaleramide,

2-(3-amidinophenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]valer-amide,

(2R)-2-(3-amidinophenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-4-methylvaleramide,

(2R)-2-(3-amidinophenylamino)-*N*-[4-(2-caprolactam-1-yl)phenyl]-4-methylvaleramide,

2-(3-amidinophenylamino)-*N*-[3-fluoro-4-(2-oxopiperidin-1-yl)phenyl]-2-(2-fluorophenyl)acetamide,

2-(3-amidinophenylamino)-*N*-[3-methyl-4-(2-caprolactam-1-yl)phenyl]-2-(2-fluorophenyl)acetamide,

(2R)-2-(3-amidinophenylamino)-*N*-[3-fluoro-4-(2-oxopiperidin-1-yl)-phenyl]-4-methylvaleramide,

2-(3-amidinophenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-4-trifluoro-3-methylbutyramide,

(2S)-2-(3-amidinophenylamino)-*N*-[4-(2-oxopiperidin-1-yl)benzyl]-2-phenylacetamide,

(2S)-2-(3-amidinophenylamino)-*N*-[4-(3-oxo-2-azabicyclo[2.2.2]oct-2-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenylamino)-*N*-[3-trifluoromethyl-4-(3-oxo-2-azabicyclo-[2.2.2]oct-2-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenylamino)-*N*-methyl-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

2-(3-aminocarbonylphenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]valeramide,

2-(3-aminocarbonylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-aminocarbonylphenoxy)-*N*-[4-(2-oxo-1*H*-pyridin-1-yl)benzyl]-2-phenylacetamide,

2-(3-aminocarbonylphenoxy)-*N*-[4-(2-caprolactam-1-yl)phenyl]-2-phenylacetamide,

2-(3-aminocarbonylphenoxy)-*N*-[4-(2-caprolactam-1-yl)phenyl]-2-phenylacetamide,

2-(3-aminocarbonylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-(2-fluorophenyl)acetamide,

3-(3-aminocarbonylphenyl)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]propionamide,

2-(3-aminocarbonylphenoxy)-*N*-[4-(2-oxo-1*H*-pyridin-1-yl)phenyl]-2-phenylacetamide,

2-(3-aminocarbonylphenoxy)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

2-(3-aminocarbonylphenoxy)-*N*-[4-(4-oxo-4*H*-pyridin-1-yl)phenyl]-2-phenylacetamide,

2-(3-aminocarbonylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-acetamide,

(2S)-2-(3-aminocarbonylphenylamino)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

(2S)-2-(3-aminocarbonylphenylamino)-*N*-[4-(2-caprolactam-1-yl)-phenyl]-2-phenylacetamide,

(2R)-2-(3-aminocarbonylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

(2S)-2-(3-aminocarbonylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

2-(3-aminocarbonylphenylamino)-*N*-[4-(2-caprolactam-1-yl)phenyl]-2-(2-fluorophenyl)acetamide,

2-(3-aminocarbonylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-(2-thienyl)acetamide,

2-(4-aminocarbonylphenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

2-(2-aminocarbonylphenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-aminocarbonylphenoxy)-*N*-[2-fluoro-4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

2-(3-aminocarbonylphenoxy)-*N*-[2-fluoro-4-(2-caprolactam-1-yl)-phenyl]-2-phenylacetamide,

(2S)-2-(2-aminocarbonylphenyl)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

(2R)-2-(2-aminocarbonylphenyl)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-aminocarbonylphenoxy)-*N*-[3-methyl-4-(2-caprolactam-1-yl)-phenyl]-2-phenylacetamide,

(2S)-2-(3-aminocarbonylphenylamino)-*N*-[4-(2-oxopyridin-1-yl)-phenyl]-2-phenylacetamide,

2-(3-aminocarbonylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-valeramide,

2-(3-aminocarbonyl-4-fluorophenylamino)-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

2-(3-aminocarbonylphenylamino)-*N*-[3-trifluoromethyl-4-(3-oxo-2-azabicyclo[2.2.2]oct-2-yl)phenyl]-2-phenylacetamide,

2-(3-*N,N*-diethylaminocarbonylphenoxy)-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

2-(3-aminocarbonylphenoxy)-*N*-[4-(2-oxopiperazin-1-yl)phenyl]-2-phenylacetamide,

2-[3-(*N*-hydroxyamidino)phenylamino]-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

2-[3-(*N*-hydroxyamidino)phenoxy]-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

2-[3-(*N*-hydroxyamidino)phenyl]-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-propionamide,

2-[3-(*N*-hydroxyamidino)phenylamino]-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]acetamide,

2-[3-(*N*-hydroxyamidino)phenoxy]-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-valeramide,

(2R)-[2-(3-(*N*-hydroxyamidino)phenylamino]-*N*-[4-(2-caprolactam-1-yl)phenyl]-2-phenylacetamide,

2-[3-(*N*-hydroxyamidino)phenylamino]-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-2-(2-trifluoromethylphenyl)acetamide,

2-[3-(*N*-hydroxyamidino)phenylamino]-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]-2-(2-fluorophenyl)acetamide,

2-[3-(*N*-hydroxyamidino)phenylamino]-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-2-(2-thienyl)acetamide,

2-[3-(*N*-hydroxyamidino)phenoxy]-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)-phenyl]valeramide,

(2S)-2-[3-(*N*-hydroxyamidino)phenylamino]-*N*-[3-fluoro-4-(2-oxo-piperidin-1-yl)phenyl]-2-phenylacetamide,

3-[3-(*N*-hydroxyamidino)phenyl]-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)-phenyl]propionamide,

(2S)-2-[3-(*N*-hydroxyamidino)phenylamino]-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-4-methylvaleramide,

2-[3-(*N*-hydroxyamidino)phenoxy]-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-valeramide,

2-[3-(*N*-hydroxyamidino)phenoxy]-*N*-[4-(2-oxo-1*H*-pyrazin-1-yl)-phenyl]valeramide,

(2S)-2-[3-(*N*-hydroxyamidino)phenylamino]-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

(2R)-2-[3-(*N*-hydroxyamidino)phenylamino]-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-4-methylvaleramide,

2-[3-(*N*-hydroxyamidino)phenylamino]-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]valeramide,

2-[3-(*N*-hydroxyamidino)phenylamino]-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]-4-methylvaleramide,

2-[3-(*N*-hydroxyamidino)phenoxy]-*N*-[4-(2-oxopyridin-1-yl)phenyl]-valeramide,

(2R)-2-[3-(*N*-hydroxyamidino)phenylamino]-*N*-[4-(2-caprolactam-1-yl)-phenyl]-4-methylvaleramide,

(2R)-2-[3-(*N*-hydroxyamidino)phenoxy]-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]valeramide,

(2S)-2-[3-(*N*-hydroxyamidino)phenoxy]-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]valeramide,

2-[3-(*N*-hydroxyamidino)phenoxy]-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-4-methylvaleramide,

2-[3-(*N*-hydroxyamidino)phenylamino]-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-3-methyl-4-trifluorobutyramide,

(2S)-2-[3-(*N*-hydroxyamidino)phenylamino]-*N*-[4-(2-oxopiperidin-1-yl)benzyl]-2-phenylacetamide,

(2S)-2-[3-(*N*-hydroxyamidino)phenylamino]-*N*-[4-(3-oxo-2-azabicyclo-[2.2.2]*oct*-2-yl)phenyl]-2-phenylacetamide,

2-[3-(*N*-hydroxyamidino)phenoxy]-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-butyramide,

2-[3-(*N*-hydroxyamidino)phenoxy]-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-propionamide,

2-[3-(*N*-hydroxyamidino)phenylamino]-*N*-[3-trifluoromethyl-4-(3-oxo-2-azabicyclo[2.2.2]oct-2-yl)phenyl]-2-phenylacetamide,

3-[3-(*N*-hydroxyamidino)phenyl]-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylpropionamide,

3-[3-(*N*-hydroxyamidino)phenyl]-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)-*phenyl*]-2-*phenylpropionamide*,

1-{4-[2-(3-(*N*-hydroxyamidino)phenoxy)-2-phenylethoxy]phenyl}-piperidin-2-one,

2-[3-(*N*-methoxycarbonyloxyamidino)phenoxy]-*N*-[4-(2-oxopiperidin-1-yl)phenyl]valeramide,

2-[3-(*N*-ethoxycarbonyloxyamidino)phenoxy]-*N*-[4-(2-oxopiperidin-1-yl)phenyl]valeramide,

(2S)-2-[3-(*N*-ethoxycarbonyloxyamidino)phenylamino]-*N*-[4-(2-oxo-piperidin-1-yl)phenyl]-4-methylvaleramide,

2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)-*phenyl*]-2-(2-*fluorophenyl*)*acetamide*,

2-(3-aminomethylphenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide, hydrochloride,

2-(3-aminomethylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-(2-fluorophenyl)acetamide,

3-(3-aminomethylphenyl)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]propion-amide,

2-(3-aminomethylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]acetamide,

2-(3-aminomethylphenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]valeramide,

(2S)-2-(3-aminomethylphenylamino]-*N*-[4-(2-caprolactam-1-yl)-phenyl]-2-phenylacetamide,

(2S)-2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]acetamide,

2-(3-aminomethylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-(2-trifluoromethylphenyl)acetamide,

(2S)-2-(3-aminomethylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

(2R)-2-(3-aminomethylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

2-(3-aminomethylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-(2-methylphenyl)acetamide,

2-(3-aminomethylphenylamino]-*N*-[4-(2-caprolactam-1-yl)phenyl]-2-(2-fluorophenyl)acetamide,

2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)-phenyl]-2-(2-methylphenyl)acetamide,

2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)-phenyl]-2-(2-fluorophenyl)acetamide,

2-(3-aminomethylphenoxy)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)-phenyl]valeramide,

2-(3-aminomethylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-(2-thienyl)acetamide,

2-(3-aminomethylphenylamino)-*N*-[3-fluoro-4-(2-oxopiperidin-1-yl)-phenyl]-2-(3-fluorophenyl)acetamide,

(2S)-2-(3-aminomethylphenylamino)-*N*-[3-fluoro-4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

(2S)-2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,
(2S)-2-(2-aminomethylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,
2-(2-aminomethylphenyl)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]acetamide,
2-(2-aminomethylphenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,
2-(4-aminomethylphenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,
2-(3-aminomethylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-(3-fluorophenyl)acetamide,
2-(3-aminomethylphenoxy)-*N*-[3-methyl-4-(2-caprolactam-1-yl)-phenyl]-2-phenylacetamide,
(2R)-2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-caprolactam-1-yl)phenyl]-2-phenylacetamide,
(2R)-2-(2-aminomethylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,
(2S)-2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-caprolactam-1-yl)phenyl]-2-phenylacetamide,
2-(3-aminomethylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-cyclohexylacetamide,
2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)-phenyl]-2-cyclohexylacetamide,
2-(3-aminomethylphenylamino)-*N*-[4-(2-caprolactam-1-yl)phenyl]-4-methylvaleramide,
(2R)-2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide, hydrochloride,
(2S)-2-(3-aminomethylphenylamino)-*N*-[4-(2-oxopyridin-1-yl)phenyl]-2-phenylacetamide,

2-(3-*aminomethylphenylamino*)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-valeramide,

2-(3-aminomethylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-4-*methylvaleramide*,

(2R)-2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]-4-methylvaleramide,

(2R)-2-(3-aminomethylphenylamino)-*N*-[3-fluoro-4-(2-oxopiperidin-1-yl)phenyl]-4-methylvaleramide,

(2R)-2-(3-aminomethylphenylamino)-*N*-[4-(2-caprolactam-1-yl)-phenyl]-4-methylvaleramide,

(2S)-2-(3-aminomethylphenylamino)-*N*-[2,5-dimethyl-4-(2-oxo-piperidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-aminomethylphenylamino)-*N*-[3-fluoro-4-(2-oxopiperidin-1-yl)-phenyl]-2-(2-fluorophenyl)acetamide,

2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-caprolactam-1-yl)-phenyl]-2-(2-fluorophenyl)acetamide,

2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)-phenyl]-2-(2,4-difluorophenyl)acetamide,

2-(3-aminomethylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-(2,4-difluorophenyl)acetamide,

(2S)-2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-oxopyrrolidin-1-yl)phenyl]-2-phenylacetamide,

(2S)-2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]-4-methylvaleramide,

2-(3-aminomethylphenylamino)-*N*-methyl-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

2-(3-*aminoethylphenylamino*)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide, hydrochloride,

2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-oxopyrrolidin-1-yl)-phenyl]-2-(2-fluorophenyl)acetamide,

2-(3-aminomethylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-4-trifluoro-3-methylbutyramide,

2-(3-aminomethylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)benzyl]-2-phenylacetamide,

(2S)-2-(3-aminomethylphenylamino)-*N*-[4-(3-oxo-2-azabicyclo[2.2.2]-oct-2-yl)phenyl]-2-phenylacetamide,

2-(3-aminomethylphenylamino)-*N*-[3-fluoro-4-(2-oxopyrrolidin-1-yl)-phenyl]-2-(2-fluorophenyl)acetamide,

2-(3-aminomethylphenylamino)-*N*-[4-(2-oxopyrrolidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-aminomethylphenylamino)-*N*-[4-(2-oxopyrrolidin-1-yl)phenyl]-2-(2-fluorophenyl)acetamide,

2-(3-aminomethylphenylamino)-*N*-[4-ethyl-3-(2-oxopyrrolidin-1-yl)-phenyl]-2-phenylacetamide,

(2S)-2-(3-aminomethylphenylamino)-*N*-[3-methoxy-4-(3-oxo-2-aza-bicyclo[2.2.2]oct-2-yl)phenyl]-2-phenylacetamide,

2-(3-aminomethylphenylamino)-*N*-[3-fluoro-4-(2-caprolactam-1-yl)-phenyl]-2-(2-fluorophenyl)acetamide,

(2S)-2-(3-aminomethylphenylamino)-*N*-[3-fluoro-4-(2-caprolactam-1-yl)phenyl]-2-phenylacetamide,

(2S)-2-(3-aminomethylphenylamino)-*N*-[3-trifluoromethyl-4-(3-oxo-2-azabicyclo[2.2.2]oct-2-yl)phenyl]-2-phenylacetamide,

2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(3-oxo-2-azabicyclo-[2.2.2]oct-2-yl)phenyl]-2-phenylacetamide,

(2S)-2-(3-aminomethylphenylamino)-*N*-[3-methoxy-4-(3-oxo-2-aza-bicyclo[2.2.2]oct-2-yl)phenyl]-2-phenylacetamide,

2-(3-aminomethylphenylamino)-*N*-[3-trifluoromethyl-4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-aminomethylphenylamino)-*N*-[3-methoxy-4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(3-oxo-2-azabicyclo-[2.2.2]oct-2-yl)phenyl]-2-(2-fluorophenyl)acetamide,
2-(3-aminomethyl-4-fluorophenylamino)-*N*-[4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,
2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(3-oxo-2-azabicyclo-[2.2.2]oct-2-yl)phenyl]-2-(2-fluorophenyl)acetamide,
2-(3-aminomethylphenylamino)-*N*-[3-chloro-4-(2-oxopyrrolidin-1-yl)-phenyl]-2-(2-fluorophenyl)acetamide,
2-(3-aminomethylphenylamino)-*N*-[3-methoxy-4-(2-oxopiperidin-1-yl)-phenyl]-2-(2-fluorophenyl)acetamide,
2-(3-aminomethylphenylamino)-*N*-[3-trifluoromethyl-4-(3-oxo-2-azabicyclo[2.2.2]oct-2-yl)phenyl]-2-phenylacetamide,
2-(3-aminomethylphenylamino)-*N*-[3-trifluoromethyl-4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,
3-(3-aminomethylphenyl)-*N*-[4-(2-caprolactam-1-yl)phenyl]-2-phenyl-propionamide,
2-(3-aminomethylphenoxy)-*N*-[3-methyl-4-(2-oxopyrrolidin-1-yl)-phenyl]-2-(2-fluorophenyl)acetamide,
3-(3-aminomethylphenyl)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenyl-propionamide,
2-(3-aminomethylphenoxy)-*N*-[3-methyl-4-(2-caprolactam-1-yl)-phenyl]-2-(2-fluorophenyl)acetamide,
3-(3-aminomethylphenyl)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]-2-phenylpropionamide,
2-(3-aminomethylphenoxy)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-(2-fluorophenyl)acetamide,
2-(3-aminomethylphenoxy)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)-phenyl]-2-(2-fluorophenyl)acetamide,
3-(3-aminomethylphenyl)-*N*-[3-methyl-4-(2-oxopyrrolidin-1-yl)phenyl]-2-phenylpropionamide,

(2S)-2-(3-aminomethylphenylamino)-*N*-[4-(5,5-dimethyl-2-oxo-pyrrolidin-1-yl)phenyl]-2-phenylacetamide,

(2S)-2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-oxo-1,3-oxazinan-3-yl)phenyl]-2-phenylacetamide,

(2S)-2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-oxooxazolidin-3-yl)phenyl]-2-phenylacetamide,

(2S)-2-(3-aminoethylphenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[4-(2-oxopiperazin-1-yl)phenyl]-2-phenylacetamide,

2-[3-(5-methyl-1,2,4-oxadiazol-3-yl)phenoxy]-*N*-[4-(2,6-dioxopiperidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[4-(2,6-dioxopiperidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[3-trifluoromethyl-4-(2,6-dioxopiperidin-1-yl)phenyl]valeramide,

2-(3-amidinophenoxy)-*N*-[3-chloro-4-(2,5-dioxopyrrolidin-1-yl)-phenyl]valeramide, acetate,

2-[3-(5-methyl-1,2,4-oxadiazol-3-yl)phenoxy]-*N*-[3-trifluoromethyl-4-(2,5-dioxopyrrolidin-1-yl)phenyl]-2-valeramide,

2-[3-(5-methyl-1,2,4-oxadiazol-3-yl)phenoxy]-*N*-[3-chloro-4-(2,5-dioxopyrrolidin-1-yl)phenyl]-2-valeramide,

2-[3-(5-methyl-1,2,4-oxadiazol-3-yl)phenoxy]-*N*-[3-methoxy-4-(2,5-dioxopyrrolidin-1-yl)phenyl]-2-valeramide,

2-[3-(5-methyl-1,2,4-oxadiazol-3-yl)phenoxy]-*N*-[4-(2,5-dioxo-pyrrolidin-1-yl)phenyl]-2-valeramide,

3-(3-amidinophenoxy)-*N*-[3-chloro-4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

3-(3-amidinophenoxy)-*N*-[3-chloro-4-(2-oxopiperidin-1-yl)phenyl]valeramide,

3-(3-amidinophenoxy)-*N*-[3-chloro-4-(2-oxopiperidin-1-yl)phenyl]-butyramide,

2-(3-amidino-4-fluorophenylamino)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

3-(3-aminomethylphenyl)-*N*-[3-methyl-4-(2-oxopiperidin-1-yl)phenyl]-2-(2-fluorophenyl)propionamide,

3-(3-aminomethylphenyl)-*N*-[4-(2-oxopiperidin-1-yl)phenyl]-2-(2-fluorophenyl)propionamide,

3-(3-aminomethylphenylamino)-*N*-[3-chloro-4-(2-oxopiperidin-1-yl)-phenyl]-2-(2-fluorophenyl)acetamide,

3-(3-aminomethylphenylamino)-*N*-[3-chloro-4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

3-(3-aminomethylphenoxy)-*N*-[3-chloro-4-(2-oxopiperidin-1-yl)phenyl]-2-(2-fluorophenyl)acetamide,

3-(3-aminomethylphenyl)-*N*-[3-methyl-4-(2-oxopyrrolidin-1-yl)phenyl]-2-(2-fluorophenyl)propionamide,

3-(3-aminomethylphenyl)-*N*-[3-chloro-4-(2-oxopiperidin-1-yl)phenyl]-2-(2-fluorophenyl)propionamide,

3-(3-aminomethylphenylamino)-*N*-[4-(2,6-dioxopiperidin-1-yl)phenyl]-2-phenylacetamide, trifluoroacetate, ESI 443;

2-(3-aminomethylphenylamino)-*N*-[3-chloro-4-(2,5-dioxopyrrolidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-aminomethyl-4-fluorophenylamino)-*N*-[3-methyl-4-(3-oxo-2-aza-bicyclo[2.2.2]oct-2-yl)phenyl]-2-phenylacetamide,

2-[3-(N-tertbutoxycarbonylaminomethyl)phenylamino]-*N*-[3-chloro-4-(2,5-dioxopyrrolidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[3-carboxymethoxy-4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[3-ethoxycarbonylmethoxy-4-(2-oxo-piperidin-1-yl)phenyl]-2-phenylacetamide,

2-(3-amidinophenoxy)-*N*-[3-ethoxycarbonylmethoxy-4-(2-oxo-piperidin-1-yl)phenyl]valeramide,

3-(3-amidinophenoxy)-*N*-[3-carboxymethoxy-4-(2-oxopiperidin-1-yl)-phenyl]valeramide,

3-(3-amidinophenoxy)-*N*-[3-carboxymethoxy-4-(2-oxopiperidin-1-yl)-phenyl]-2-phenylacetamide,

2-[3-(*N*-hydroxyamidino)phenoxy]-*N*-[3-ethoxycarbonylmethoxy-4-(2-oxopiperidin-1-yl)phenyl]-2-phenylacetamide,

2-[3-(*N*-hydroxyamidino)phenoxy]-*N*-[3-ethoxycarbonylmethoxy-4-(2-oxopiperidin-1-yl)phenyl]valeramide,

2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(3-oxo-2-azabicyclo-[2.2.2]oct-2-yl)phenyl]-2-(2-fluorophenyl)acetamide,

2-(3-aminomethylphenylamino)-*N*-[3-methyl-4-(2-oxooxazolidin-3-yl)-phenyl]-2-(2-fluorophenyl)acetamide,

3-(3-aminomethylphenylamino)-*N*-[3-methoxy-4-(2-oxopiperidin-1-yl)-phenyl]-2-(2-fluorophenyl)acetamide,

3-(3-aminomethylphenylamino)-*N*-[3-chloro-4-(2-oxopyrrolidin-1-yl)-phenyl]-2-phenylacetamide,

2-(3-aminomethylphenoxy)-*N*-[3-methyl-4-(3-oxo-2-azabicyclo[2.2.2]-oct-2-yl)phenyl]-2-(2-fluorophenyl)acetamide,

3-(3-aminomethylphenylamino)-*N*-[4-(5,5-dimethyl-2-oxopyrrolidin-1-yl)phenyl]-2-(2-fluorophenyl)acetamide,

3-(3-aminomethylphenoxy)-*N*-[4-(5,5-dimethyl-2-oxopyrrolidin-1-yl)-phenyl]-2-(2-fluorophenyl)acetamide,

2-(3-aminomethylphenoxy)-*N*-[3-methyl-4-(2-oxooxazolidin-3-yl)-phenyl]-2-(2-fluorophenyl)acetamide,

3-(3-aminomethylphenoxy)-*N*-[3-methoxy-4-(2-oxopiperidin-1-yl)-phenyl]-2-(2-fluorophenyl)acetamide,

and their pharmaceutically usable derivatives, solvates and stereo-isomers, including mixtures thereof in all ratios.

16. Process for the preparation of compounds of the formula I according to Claim 1 and their pharmaceutically tolerated salts and solvates, characterised in that

a) they are liberated from one of their functional derivatives by treatment with a solvolysing or hydrogenolysing agent by

i) liberating an amidino group from their hydroxyl, oxadiazole or oxazolidinone derivative by hydrogenolysis or solvolysis,

ii) replacing a conventional amino-protecting group by hydrogen by treatment with a solvolysing or hydrogenolysing agent, or liberating an amino group protected by a conventional protecting group,

or

b) converting a cyano group into an amidino group,

or

c) reacting a compound of the formula II

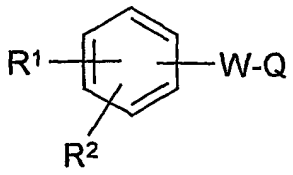
Z-Y-T II

in which

Z is HNR^3- or $HNR^3C(R^4)_2$-

and R^3, R^4, Y and T are as defined in Claim 1,

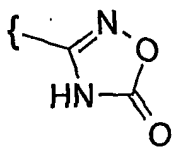
with a compound of the formula III



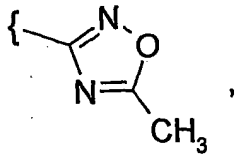
III

in which

R^1 is $-C(=NH)-NH_2$ which is monosubstituted by $C(=O)R^3$, $COOR^3$, OR^3 or by a conventional amino-protecting group, or is



or



,

Q is -CO-L or $-C(R^4)_2-L$,

L is Cl, Br, I or a free or reactively functionally modified OH group, and

R^2, R^3, R^4 and W are as defined in Claim 1,

and/or

d) converting a base or acid of the formula I into one of its salts.

17. Compounds of the formula I according to one or more of Claims 1 to 15 as inhibitors of coagulation factor Xa.

18. Compounds of the formula I according to one or more of Claims 1 to 15 as inhibitors of coagulation factor VIIa.

19. Medicament comprising at least one compound of the formula I according to one or more of Claims 1 to 15 and/or its pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios, and, if desired, excipients and/or assistants.

20. Medicament comprising at least one compound of the formula I according to one or more of Claims 1 to 15 and/or its pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios, and at least one further medicament active ingredient.

21. Use of compounds according to one or more of Claims 1 to 15 and/or their physiologically acceptable salts and solvates for the preparation of a medicament for the treatment of thrombosis, myocardial infarction, arteriosclerosis, inflammation, apoplexia, angina pectoris, restenosis after angioplasty, *claudicatio intermittens*, tumours, tumour diseases and/or tumour metastases.

22. Set (kit) consisting of separate packs of

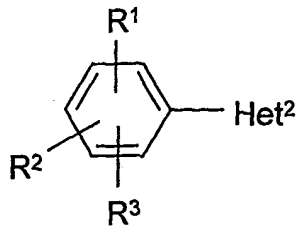
(a) an effective amount of a compound of the formula I according to one or more of Claims 1 to 15 and/or its pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios,

and

(b) an effective amount of a further medicament active ingredient.

23. Use of compounds of the formula I according to one or more of Claims 1 to 15 and/or their pharmaceutically usable derivatives, solvates and stereoisomers, including mixtures thereof in all ratios, for the preparation of a medicament for the treatment of thrombosis, myocardial infarction, arteriosclerosis, inflammation, apoplexia, angina pectoris, restenosis after angioplasty, claudicatio intermittens, tumours, tumour diseases and/or tumour metastases, in combination with at least one further medicament active ingredient.

24. Intermediates of the formula I-I



I-I

in which

R^1 is NO_2, CN, NHA, NHCOA, $NACF_3$, NH_2 or $(CH_2)_nNH_2$,

R^2 and

R^3 are each, independently of one another, H, Hal, A, OA or CF_3,

A is alkyl having 1, 2, 3, 4, 5 or 6 carbon atoms,

Het^2 is a monocyclic or bicyclic, saturated, unsaturated or aromatic heterocyclic radical having from 1 to 4 N, O and/or S atoms which is unsubstituted or monosubstituted, disubstituted or trisubstituted by Hal, A, OA, CN, COOA, $CONH_2$, NHCOA, $NHSO_2A$, $S(O)_mA$ and/or carbonyl oxygen,

n is 0, 1, 2 or 3,

and salts thereof.

VI

25. Compounds according to Claim 24, selected from the group consisting of

1-(3-fluoro-4-nitrophenyl)piperidin-2-one,
1-(4-amino-3-fluorophenyl)piperidin-2-one,
tert-butyl 4-(4-nitrophenyl)-3-oxopiperazin-1-carboxylate,
tert-butyl 4-(4-aminophenyl)-3-oxopiperazin-1-carboxylate,
1-(4-nitrophenyl)azepam-2-one,
1-(4-aminophenyl)azepam-2-one,
1-(3-fluoro-4-nitrophenyl)azepam-2-one,
1-(4-amino-3-fluorophenyl)azepam-2-one,
1-(2-methyl-4-nitrophenyl)azepam-2-one,
1-(4-amino-2-methylphenyl)azepam-2-one,
1-(4-nitrophenyl)-1*H*-pyrazin-2-one,
1-(4-aminophenyl)-1*H*-pyrazin-2-one,
1-(2,5-dimethyl-4-nitrophenyl)piperidin-2-one,
1-(4-amino-2,5-dimethylphenyl)piperidin-2-one,
2,2,2-trifluoro-*N*-methyl-*N*-[4-(2-oxopiperidin-1-yl)phenyl]acetamide,
1-(4-methylaminophenyl)piperidin-2-one,
1-(3-methyl-4-nitrophenyl)piperidin-2-one,
1-(4-amino-3-methylphenyl)piperidin-2-one,
5'-nitro-3,4,5,6-tetrahydro-1,2'-bipyridinyl-2-one,
5'-amino-3,4,5,6-tetrahydro-1,2'-bipyridinyl-2-one,
2-(4-nitrophenyl)-2-azabicyclo[2.2.2]octan-3-one,
2-(4-aminophenyl)-2-azabicyclo[2.2.2]octan-3-one,
2-(4-nitro-2-trifluoromethylphenyl)-2-azabicyclo[2.2.2]octan-3-one,
2-(4-amino-2-trifluoromethylphenyl)-2-azabicyclo[2.2.2]octan-3-one,
2-(2-methyl-4-nitrophenyl)-2-azabicyclo[2.2.2]octan-3-one,
2-(4-amino-2-methylphenyl)-2-azabicyclo[2.2.2]octan-3-one,
2-(2-methoxy-4-nitrophenyl)-2-azabicyclo[2.2.2]octan-3-one,

2-(4-amino-2-methoxyphenyl)-2-azabicyclo[2.2.2]octan-3-one,
1-(2-methoxy-4-nitrophenyl)piperidin-2-one,
1-(4-amino-2-methoxyphenyl)piperidin-2-one,
1-(4-nitro-2-trifluoromethylphenyl)piperidin-2-one,
1-(4-amino-2-trifluoromethylphenyl)piperidin-2-one,
3-(2-methyl-4-nitrophenyl)-1,3-oxazinan-2-one,
3-(4-amino-2-methylphenyl)-1,3-oxazinan-2-one,
1-(2-chloro-4-nitrophenyl)pyrrolidin-2-one,
1-(4-amino-2-chlorophenyl)pyrrolidin-2-one,
1-(2-methoxy-4-nitrophenyl)pyrrolidine-2,5-dione,
1-(4-amino-2-methoxyphenyl)pyrrolidine-2,5-dione,
5,5-dimethyl-1-(4-nitrophenyl)pyrrolidin-2-one,
1-(4-aminophenyl)-5,5-dimethylpyrrolidin-2-one,
3-(2-methyl-4-nitrophenyl)oxazolidin-2-one,
3-(4-amino-2-methylphenyl)oxazolidin-2-one,
1-(2-fluoro-4-nitrophenyl)azepam-2-one,
1-(4-amino-2-fluorophenyl)azepam-2-one,
4-(2-oxo-2*H*-pyridin-1-yl)benzonitrile,
1-(4-aminomethylphenyl)-1*H*-pyridin-2-one,
1-(4-aminomethylphenyl)piperidin-2-one,
1-(2-ethyl-5-nitrophenyl)pyrrolidin-2-one,
1-(5-amino-2-ethylphenyl)pyrrolidin-2-one,
1-(4-aminophenyl)piperidine-2,6-dione,
and salts thereof.

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D211/76 C07D211/74 C07D265/32 C07D241/08 C07D401/04
C07D263/22 C07D237/14 C07D223/10 A61K31/535 A61K31/50
A61K31/4412 A61K31/421

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, CHEM ABS Data, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	TING SU ET AL: "Design and Synthesis of Glycolic and Mandelic Acid derivatives as Factor Xa Inhibitors" BIOORGANIC AND MEDICINAL CHEMISTRY LETTERS, vol. 11, no. 17, 2001, pages 2279-2282, XP001069180	1-23
P,Y	see compounds 19-22	1-23

Y	WO 00 71510 A (COR THERAPEUTICS INC) 30 November 2000 (2000-11-30)	1-23
X	see general formula, definitions of A and pages 39/40 and 49 of the description, and example 25 and claims 9,7,6,5.	1-23

	-/--	

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
20 June 2002	01.07.02

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Scruton-Evans, I

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
E	WO 02 06269 A (MERCK PATENT GMBH ;DORSCH DIETER (DE); GLEITZ JOHANNES (DE); JURAS) 24 January 2002 (2002-01-24) see especially definitions of R5	1-23
A	WO 99 16751 A (BERNOTAT DANIELOWSKI SABINE ;MERCK PATENT GMBH (DE); DORSCH DIETER) 8 April 1999 (1999-04-08) see the definition for R3 and X	1-23

INTERNATIONAL SEARCH REPORT

PCT/EP 01/14296

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. [ ] Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. [X] Claims Nos.: 1-14,16-23 (partly),24
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
see FURTHER INFORMATION sheet PCT/ISA/210

3. [ ] Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II *Observations where unity of invention is lacking* (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

As a result of the prior review under R. 40.2(e) PCT, no additional fees are to be refunded.

1. [X] As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. [ ] As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. [ ] As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. [ ] No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

[X] The additional search fees were accompanied by the applicant's protest.

[ ] No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1-14,16-23 (partly),24

The initial phase of the search revealed a very large number of documents relevant to the issue of novelty. So many documents were retrieved that it is impossible to determine which parts of the claim(s) may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT). For these reasons, a meaningful search over the whole breadth of the claim(s) is impossible. Consequently, the search has been restricted to those compounds for which support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found. The search has thus been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds wherein R1 is in the 3-position, the linker chain W-X-Y is

-W-C(phenyl or n-propyl)-CON-phenyl, 4-substituted by an N atom (possibly cyclic) and the examples.

For claim 24 the initial phase of the search revealed a very large number of documents relevant to the
issue of novelty. So many documents were retrieved that it is impossible to determine which parts of the claim(s) may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT). For these reasons, a meaningful search over the whole breadth of the claim is impossible. Many known compounds are included within the very general formula, and even making a restriction to a generalisation over the examples (i.e. having a N-bonded 2-carbonyl substituted group for Het, with NO2 in the 4-position of the phenyl) revealed a very large number of documents relevant to novelty. Thus only the specific examples of claim 25 were searched.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1-23

   Compounds of the formula I, their preparation and their use

2. Claims: 24,25

   Intermediates of the formula I-I

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 0071510 A	30-11-2000	AU 5041300 A	12-12-2000
		AU 5283800 A	12-12-2000
		AU 5723500 A	12-12-2000
		EP 1185508 A2	13-03-2002
		EP 1183235 A2	06-03-2002
		EP 1185509 A2	13-03-2002
		WO 0071510 A2	30-11-2000
		WO 0071511 A2	30-11-2000
		WO 0071508 A2	30-11-2000
WO 0206269 A	24-01-2002	DE 10035144 A1	31-01-2002
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WO 9916751 A	08-04-1999	DE 19743435 A1	08-04-1999
		AU 736080 B2	26-07-2001
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		BR 9812699 A	22-08-2000
		CA 2305568 A1	08-04-1999
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		WO 9916751 A1	08-04-1999
		EP 1025086 A1	09-08-2000
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		PL 339173 A1	04-12-2000
		SK 4472000 A3	07-11-2000
		ZA 9808937 A	31-03-1999